STIC Search Report

Biotech-Chem Library

To: Devesh Khare Location: REM-5C35&5C18 Art Unit: 1623 Tuesday, January 18, 2005	From: Beverly Shears Location: Remsen Bldg. RM 1A54 Phone: 571-272-2528 beverly.shears@uspto.gov

Search Notes

Case Serial Numbers 10/058903 and 10/312951 attached.

Access DB# 141911

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester=s full Name: Devesh Khare Examiner #: 77931 Date: 01/06/2005
Art Unit: 1623 Phone Number 272-0653 Serial Number: 10/058,903
Mail Box: Remsen 5C18 and Bldg/Room Location: 5C35 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. 1 of 4

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be search Include the elected species or structures, key words, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: See Bib Data Sheet on e-dan.

Inventors (please provide full names): See Bib Data Sheet on e-dan.

Earliest priority Filing Date: 03/30/2001

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please carry out a search on the attached claim sheet :

Thank you.

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher:	NA Sequence (#)	STN
Searcher Phone #:	AA Sequence (#)	Dialog
Searcher Location:	Structure (#)	Questel/Orbit
Date Searcher Picked Up:	Bibliographic	Dr. Link
Date Completed:	Litigation	
Lexis/Nexis		
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical prep time:	Patent Family	WWW/Internet
Online Time:	Other	Other (specify)

PTO-1590 (1-2000)

Date completed:
Searcher: Beverly e2528
Terminal time:
Elapsed time:
CPU time:
Total time:
Number of Searches:
Number of Databases:

Search Site
- STIC
- CM-1
- Pre-S

Type of Search
- N.A. Sequence
- A.A. Sequence
- Structure
- Bibliographic

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- DARC/Questel
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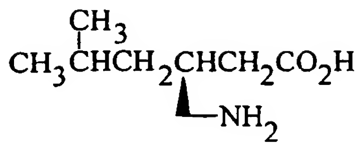
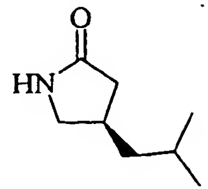
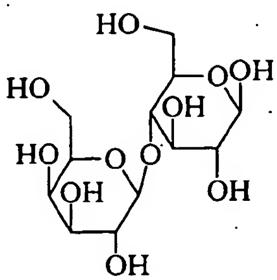


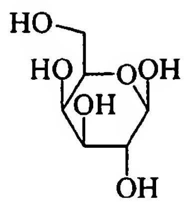
Pregabalin



Pregabalin Lactam



b-D-Lactose



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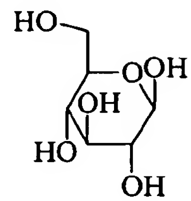

b-Glucose

Figure 1

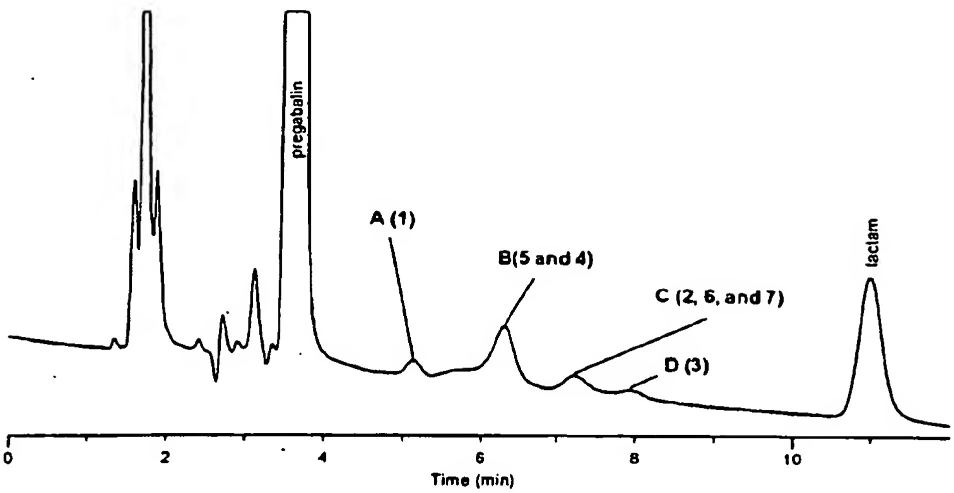

Figure 2. HPLC Chromatogram of Pregabalin 25-mg Capsule Stored at 40°C/75% RH for 6 Months (Original Method) HPLC Conditions: Column: Waters μ-Bondapak 10 μ C18, 300 × 3.9 mm ID; Mobile Phase: 550:350:100:1 H_2O:MeOH:CH_2ON:pH 7.0 Phosphate Buffer; Flow Rate: 1.0 mL/min; Detection: 210 nm; Temperature: Ambient; Compounds: as defined in Scheme 3

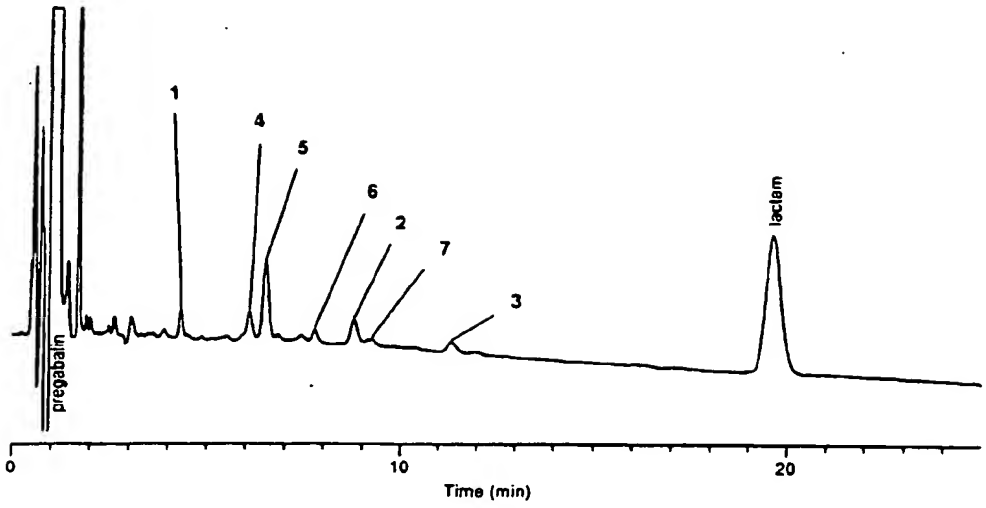

Figure 3. HPLC Chromatogram of Pregabalin 25-mg Capsules Stored at 40°C/75% RH for 6 Months (Modified Method) HPLC Conditions; Column: Phenomenex Luna C18 (2) 100 × 4.6 mm ID, 3 μ; Mobile Phase: 85:15 0.05% Formic Acid:CH_3CN; Flow Rate: 1.5 mL/min; Detection: 210 nm; Temperature: Ambient; Compounds: as defined in Scheme 3

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Khare, D.
10/058903

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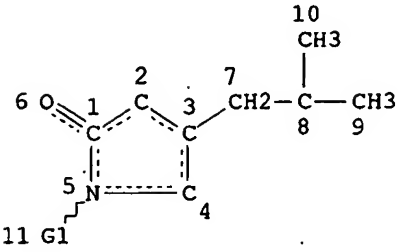

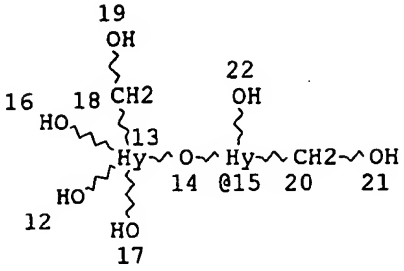

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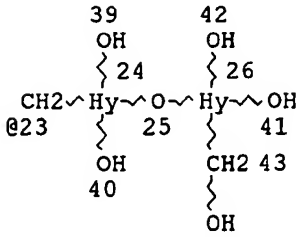

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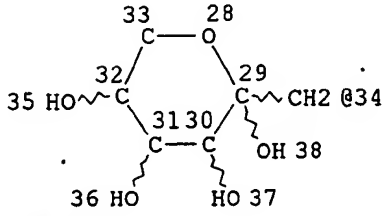

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NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE
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(FILE 'CAPLUS' ENTERED AT 15:07:11 ON 12 JAN 2005)
L10 2 S L9

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:777769 CAPLUS

DOCUMENT NUMBER: 137:284373
TITLE: Pregabalin-lactose conjugates for pharmaceuticals
INVENTOR(S): Hurley, Timothy Robert; Lovdahl, Michael James; Tobias, Brian
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078747	A2	20021010	WO 2002-IB647	20020225
WO 2002078747	A3	20031009		
WO 2002078747	C1	20031204		
WO 2002078747	C2	20031231		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002187941	A1	20021212	US 2002-58903	20020128
CA 2440468	AA	20021010	CA 2002-2440468	20020225
EE 200300480	A	20031215	EE 2003-480	20020225
EP 1377318	A2	20040107	EP 2002-702614	20020225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008439	A	20040323	BR 2002-8439	20020225
JP 2004524357	T2	20040812	JP 2002-577011	20020225
BG 108193	A	20040930	BG 2003-108193	20030924
NO 2003004348	A	20030929	NO 2003-4348	20030929

PRIORITY APPLN. INFO.: US 2001-280176P P 20010330
WO 2002-IB647 W 20020225

AB Compns. containing pregabalin-lactose conjugates are useful for the treatment of of central nervous system disorders or diseases including seizure disorders, pain, depression, anxiety, sleep disorders, consumptive disorders, psychosis, tardive dyskinesia, Huntington's disease, or Parkinson's disease in humans. Pregabalin and lactose were dissolved in water and the solution was then heated at 90°. The resulting solid was then redissolved in approx. iso-PrOH by sonicating and heating. The product was subjected to reversed-phase preparative chromatog. to give (S)-1-[3,4-dihydroxy-6-hydroxymethyl-5-(3,4,5-trihydroxymethyl-6-hydroxymethyltetrahydropyran-2-yloxy)tetrahydropyran-2-yl]-4-isobutylpyrrolidin-2-one (I). Tablets contained I 25, lactose 50, corn starch (for mix) 10, corn starch (paste) 10, and Mg stearate 5 mg.

IT **466678-44-4P 466678-45-5P 466678-46-6P 466678-47-7P 466678-50-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(pregabalin lactose conjugates for pharmaceuticals)

RN 466678-44-4 CAPLUS
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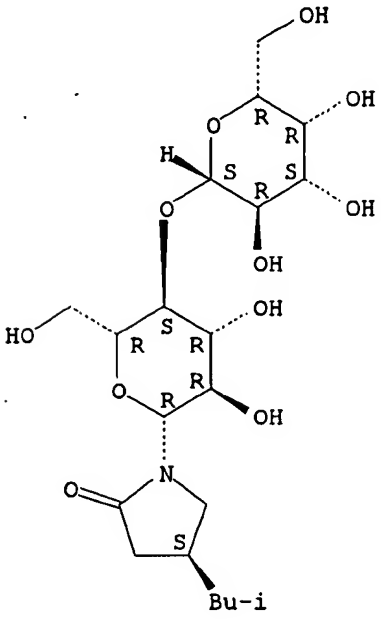
Absolute stereochemistry.



RN 466678-45-5 CAPLUS
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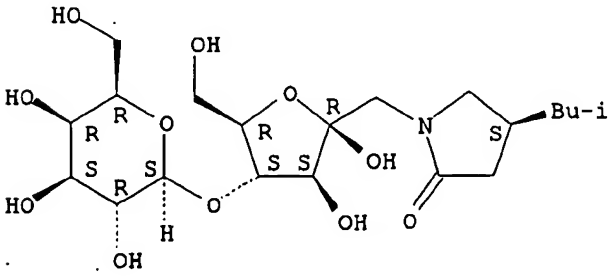
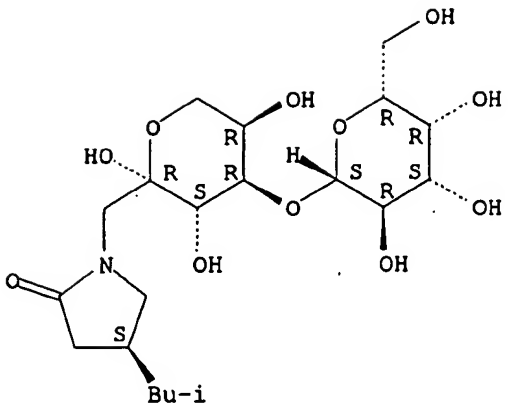
Absolute stereochemistry.



RN 466678-46-6 CAPLUS
CN β-D-Fructopyranose, 1-deoxy-4-O-β-D-galactopyranosyl-1-[(4S)-4-(2-methylpropyl)-2-oxo-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 466678-47-7 CAPLUS
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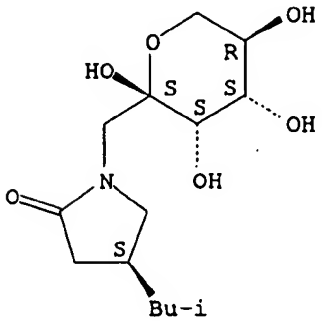
Absolute stereochemistry.



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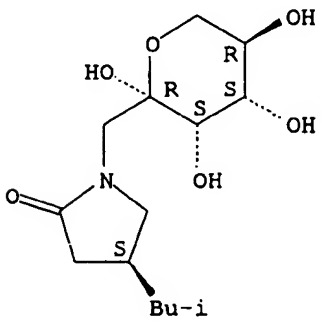
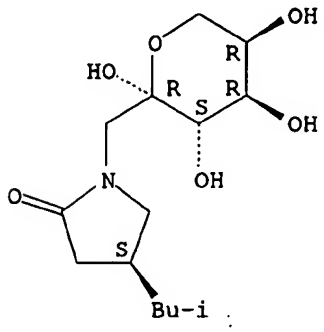
Absolute stereochemistry.



IT **466678-49-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pregabalin lactose conjugates for pharmaceuticals)
RN 466678-49-9 CAPLUS
CN β-D-Fructopyranose, 1-deoxy-1-[(4S)-4-(2-methylpropyl)-2-oxo-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:402961 CAPLUS
DOCUMENT NUMBER: 138:243397
TITLE: Synthesis and characterization of pregabalin lactose conjugate degradation products
AUTHOR(S): Lovdahl, Michael J.; Hurley, Timothy R.; Tobias, Brian; Priebe, Stephen R.
CORPORATE SOURCE: Analytical Development Department, Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA
SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2002), 28(5), 917-924
CODEN: JPBADA; ISSN: 0731-7085
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

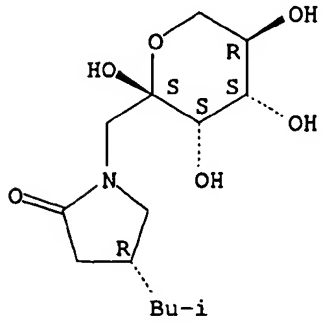
priority not met

AB Seven degradation products observed in formulated pregabalin have been characterized. These compds. result from Maillard reactions and Amadori rearrangements. Heating pregabalin in the presence of lactose formed significant quantities of these degradation products. The seven compds. corresponding to the observed degradation products were isolated by preparative liquid chromatog. The synthesis, isolation, and spectral characterization of the degradation products are detailed.

IT **501665-97-0**, PD 224377 **501666-22-4**, PD 310806 **501666-23-5**, PD 312237 **501666-24-6**, PD 312236 **501666-25-7**, PD 310886
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(synthesis and characterization of pregabalin lactose conjugate degradation products)
RN 501665-97-0 CAPLUS

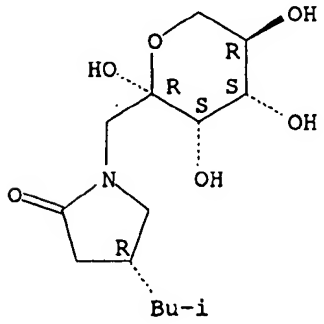
CN α-D-Tagatopyranose, 1-deoxy-1-[(4R)-4-(2-methylpropyl)-2-oxo-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



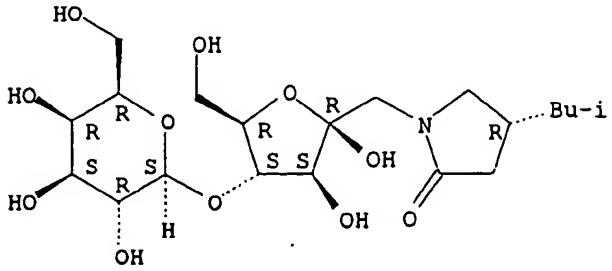
RN 501666-22-4 CAPLUS
CN β-D-Tagatopyranose, 1-deoxy-1-[(4R)-4-(2-methylpropyl)-2-oxo-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501666-23-5 CAPLUS
CN β-D-Fructofuranose, 1-deoxy-4-O-β-D-galactopyranosyl-1-[(4R)-4-(2-methylpropyl)-2-oxo-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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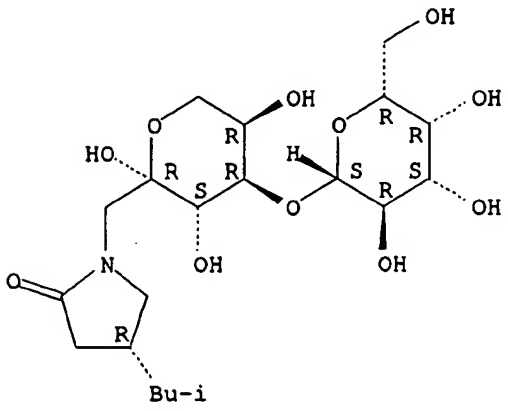
Absolute stereochemistry.



RN 501666-25-7 CAPLUS
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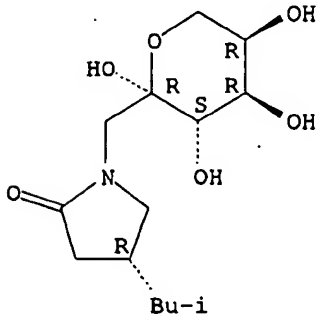
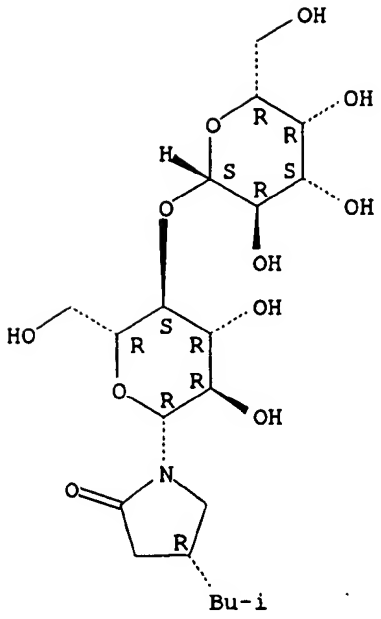
Absolute stereochemistry.



IT **501665-88-9**, PD 224378
RL: FMU (Formation, unclassified); PRP (Properties); RCT (Reactant); FORM (Formation, nonpreparative); RACT (Reactant or reagent)
(synthesis and characterization of pregabalin lactose conjugate degradation products)
RN 501665-88-9 CAPLUS
CN 2-Pyrrolidinone, 1-(4-O-β-D-galactopyranosyl-β-D-glucopyranosyl)-4-(2-methylpropyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'CAOLD' ENTERED AT 15:07:57 ON 12 JAN 2005
L11 0 S L9

FILE 'USPATFULL' ENTERED AT 15:08:03 ON 12 JAN 2005
L12 1 S L9

L12 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER:	2002:330258 USPATFULL
TITLE:	Pregabalin lactose conjugates
INVENTOR(S):	Hurley, Timothy Robert, Ann Arbor, MI, UNITED STATES Lovdahl, Michael James, Ann Arbor, MI, UNITED STATES Tobias, Brian, Ann Arbor, MI, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002187941	A1	20021212	
APPLICATION INFO.:	US 2002-58903	A1	20020128	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2001-280176P	20010330	(60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	David R. Kurlandsky, Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, MI, 48105
NUMBER OF CLAIMS:	7
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	3 Drawing Page(s)

this applic

Searcher : Shears 571-272-2528

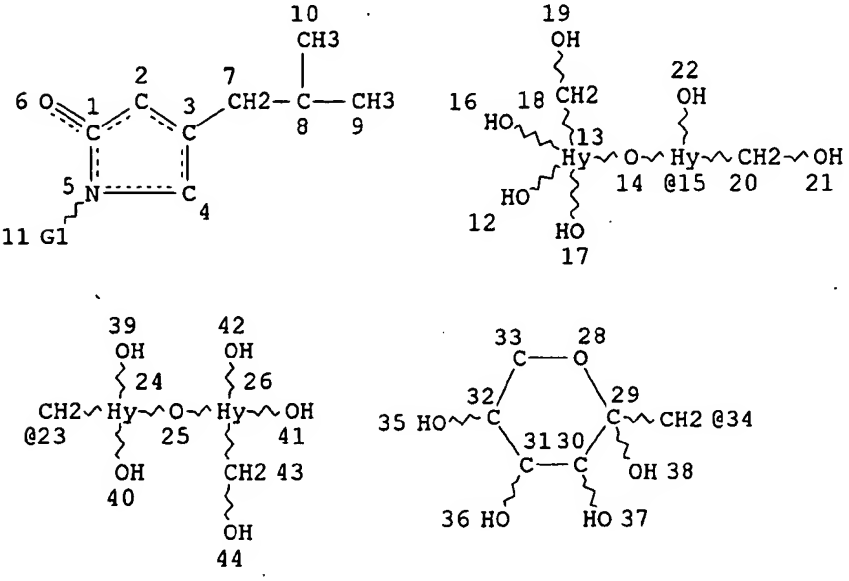
LINE COUNT: 891
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB In accordance with the present invention, there is provided pregabalin lactose conjugate compounds.

Also provided as part of the present invention is a novel method of central nervous system disorders or diseases including seizure disorders, pain, depression, anxiety, sleep disorders, consumptive disorders, psychosis, tardive dyskinesia, Huntington's disease, or Parkinson's disease in a subject by administering to the subject a pharmaceutically effective amount of a pregabalin lactose conjugate.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

(FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 15:08:26 ON 12 JAN 2005)
L13 0 S L9

(FILE 'MARPAT' ENTERED AT 15:08:41 ON 12 JAN 2005)
L14 STR



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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

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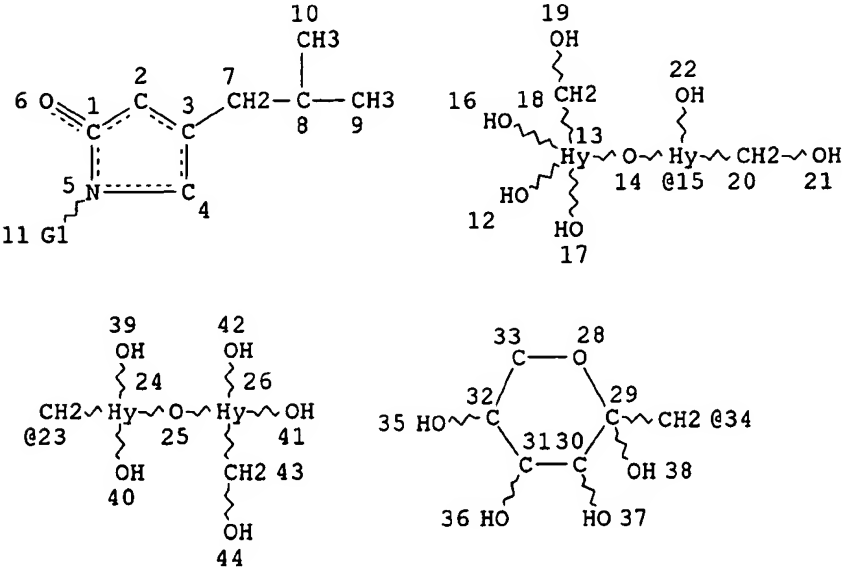
FILE 'MARPATPREV' ENTERED AT 15:09:51 ON 12 JAN 2005
L14 STR



VAR G1=15/23/34
NODE ATTRIBUTES:
CONNECT IS X2 RC AT 2
CONNECT IS X2 RC AT 4
CONNECT IS X2 RC AT 33
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 13 15 24 26
GGCAT IS SAT AT 13
GGCAT IS SAT AT 15
GGCAT IS SAT AT 24
GGCAT IS SAT AT 26
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E1 O AT 13

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ECOUNT  IS E1 O  AT  15
ECOUNT  IS E1 O  AT  24
ECOUNT  IS E1 O  AT  26

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  43

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L17           0 SEA FILE=MARPATPREV SSS FUL L14 (MODIFIED ATTRIBUTES)

100.0% PROCESSED     12 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

     (FILE 'REGISTRY' ENTERED AT 15:13:22 ON 12 JAN 2005)
L25           0 S ?"ISOBUTYL-1-(2,3,4,5-TETRAHYDROXY"?/CNS

                                                          - Named compds.
L27           0 S ?"ISOBUTYL-1-(2,3,5-TRIHYDROXY"?/CNS
L28           0 S ?"ISOBUTYL-1-(2,3,4-TRIHYDROXY"?/CNS


L31           0 S ?"DIHYDROXY-6-HYDROXYMETHYL-5-(3,4,5-TRIHYDROXY"?/CNS
L32           0 S ?"DIHYDROXY-5-HYDROXYMETHYL-4-(3,4,5-TRIHYDROXY"?/CNS

     (FILE 'CAPLUS' ENTERED AT 15:20:41 ON 12 JAN 2005)
L33        1381 SEA FILE=CAPLUS ABB=ON  PLU=ON  (DIHYDROXY OR DI HYDROXY)(S)(HY
                DROXYMETHYL OR HYDROXY(W)(ME OR METHYL))
L34         128 SEA FILE=CAPLUS ABB=ON  PLU=ON  L33(S)(TETRAHYDRO? OR TETRA
                HYDRO?)
L35           1 SEA FILE=CAPLUS ABB=ON  PLU=ON  L34(S)(ISOBUTYL? OR (ISO OR
                I)(W)(BU OR BUTYL?))


L36         692 SEA FILE=CAPLUS ABB=ON  PLU=ON  4(W)(ISOBUTYL OR (ISO OR
                I)(W)(BUTYL OR BU))
L37           0 SEA FILE=CAPLUS ABB=ON  PLU=ON  L36(S)(4(W)5(W)(TETRAHYDROXY?
                OR TETRA HYDROXY?))


L36         692 SEA FILE=CAPLUS ABB=ON  PLU=ON  4(W)(ISOBUTYL OR (ISO OR
                I)(W)(BUTYL OR BU))
L38           0 SEA FILE=CAPLUS ABB=ON  PLU=ON  L36(S)(5(W)(TRIHYDROXY? OR TRI
                HYDROXY?))


L39           0 L35 NOT L10

     (FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS,
     JAPIO' ENTERED AT 15:25:06 ON 12 JAN 2005)
L40           1 S L35
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Searcher : Shears 571-272-2528

L41 0 S L37
L42 0 S L38

L40 ANSWER 1 OF 1 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2002-241176 [29] WPIDS
DOC. NO. CPI: C2002-072446
TITLE: New beta-hydroxyamide compound useful in powder coating compositions as crosslinkers and/or curing agents.
DERWENT CLASS: A25 A60 E16 G02
INVENTOR(S): MANEA, M; PETERSSON, C
PATENT ASSIGNEE(S): (PEST) PERSTORP SPECIALTY CHEM AB; (MANE-I) MANEA M; (PETE-I) PETERSSON C
COUNTRY COUNT: 95
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001098257	A1	20011227	(200229)*	EN	23
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW					
AU 2001074750	A	20020102	(200230)		
EP 1292567	A1	20030319	(200322)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR					
US 2003195373	A1	20031016	(200369)		
JP 2004501133	W	20040115	(200410)		42

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001098257	A1	WO 2001-SE1359	20010615
AU 2001074750	A	AU 2001-74750	20010615
EP 1292567	A1	EP 2001-941393	20010615
		WO 2001-SE1359	20010615
US 2003195373	A1	WO 2001-SE1359	20010615
		US 2003-311295	20030204
JP 2004501133	W	WO 2001-SE1359	20010615
		JP 2002-504213	20010615

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2001074750	A	Based on	WO 2001098257
EP 1292567	A1	Based on	WO 2001098257
JP 2004501133	W	Based on	WO 2001098257

PRIORITY APPLN. INFO: SE 2000-2268 20000619
AN 2002-241176 [29] WPIDS
AB WO 200198257 A UPAB: 20020508
NOVELTY - A beta -hydroxyamide compound (I) is new.

DETAILED DESCRIPTION - A beta -hydroxyamide compound of formula (I) is new.

R1 = alkyl, alkoxyalkyl, hydroxyalkyl or hydroxyalkoxyalkyl;

R2 = alkyl, aryl, alkylaryl or arylalkyl;

R3 = N-alkyl or N-cycloalkyl having at least one OH in beta -position;

m, n = 1 or more.

An INDEPENDENT CLAIM is also included for a process for the synthesis of (I).

USE - In powder coating compositions as chemical intermediates, crosslinkers and/or curing agents.

ADVANTAGE - (I) provides a coating that can be formulated as a solvent-borne or water-borne system, and solvent-borne coatings can be formulated as high-solid systems. It allows crosslinking temperature to be moderate-to-high in the range of 150-200 deg. C, and reduces the compatibility problems due to di, tri or polyhydric core compounds. The coating obtained is clear and has improved flexibility and hardness.

Dwg.0/0

FILE 'HOME' ENTERED AT 15:28:43 ON 12 JAN 2005